



Response to Office Action
Ser. No. 09/401,004
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I. AMENDMENTS

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In the claims:

Please cancel claims 16 and 37 without prejudice.

Please amend the claims as follows:

17. (Amended) The single compound of claim 39, wherein:

R^1 , R^2 , R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

18. (Amended) The single compound of claim 39, wherein:

R^1 , R^2 , and R^4 are each a hydrogen atom and R^3 is selected from the group consisting of halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the

group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

19. (Amended) The single compound of claim 36, wherein:

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl and C₃ to C₇ substituted cycloalkyl.

20. (Amended) The single compound of claim 39, wherein:

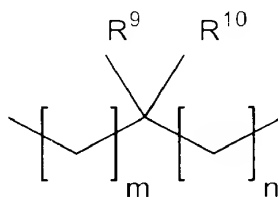
R⁶ is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene and C₃ to C₇ substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C₁ to C₁₂ alkylene, C₁ to C₁₂ substituted alkylene, -NH- and the formula:



wherein:

R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, phenyl, substituted phenyl; and m and n are, independently, 0, 1 or 2.

21. (Amended) The single compound of claim 39, wherein:

R¹ and R² are each a hydrogen atom.

22. (Amended) The single compound of claim 36, wherein:

R^1 , R^2 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R^3 is selected from the group consisting of a C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R^5 is selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, phenyl, substituted phenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to

C₁₂ substituted heterocycloalkyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl and C₃ to C₇ substituted cycloalkyl;

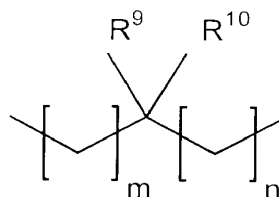
R⁶ is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene and C₃ to C₇ substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C₁ to C₁₂ alkylene, C₁ to C₁₂ substituted alkylene, -NH- and the formula:



wherein:

R^9 and R^{10} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, phenyl, substituted phenyl; and m and n are independently 0, 1 or 2; and

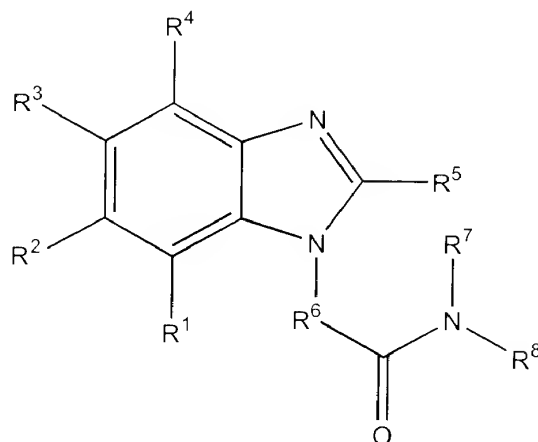
R^7 and R^8 are each a hydrogen atom.

23. (Amended) The single compound of claim 36, wherein R^6 is methylene, R^1 , R^2 and R^4 are each a hydrogen atom and R^3 is the formula $-C(O)NR^{11}R^{12}$.

24. (Amended) The single compound of claim 36, wherein R^6 is methylene, R^1 , R^2 and R^4 are each a hydrogen atom and R^3 is the formula $-C(O)R^{11}$, wherein R^{11} is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

25. (Amended) The single compound of claim 36, wherein R^6 is not methylene.

36. (Amended)) A single compound of the formula:



wherein:

R¹, R² and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino,

(monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula -NR¹¹R¹², (iv) the formula -SR¹¹, (v) the formula -OR¹¹ and (vi) the formula -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R³ is selected from the group consisting of hydroxy, protected hydroxy, cyano, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl,

C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula -NR¹¹R¹², (iv) the formula -SR¹¹, (v) the formula -OR¹¹ and (vi) the formula -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted

phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀
substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁
to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl
and substituted phenylaminocarbonyl;

R⁵ is selected from the group consisting of a hydrogen atom,
C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl,
substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈
substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to
C₁₂ substituted heterocycloalkyl, carboxy, protected
carboxy, cyano, protected (monosubstituted)amino,
(disubstituted)amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted
acyl, C₁ to C₁₂ alkoxy carbonyl, C₁ to C₁₂ substituted
alkoxy carbonyl, heterocycle, substituted heterocycle,
naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇
substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇
substituted cycloalkenyl;

R⁶ is the formula:

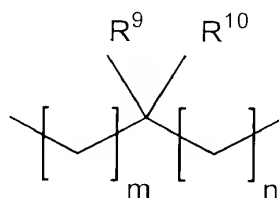
-D-W-E-

wherein:

W is absent or selected from the group consisting
of phenylene, substituted phenylene, C₃ to C₇
cycloalkylene, C₃ to C₇ substituted cycloalkylene,
C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted
cycloalkenylene, arylene, substituted arylene,

heterocyclene, substituted heterocyclene,
heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted

alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂
acyl, C₁ to C₁₂ substituted acyl, C₃ to C₇
cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to
C₇ cycloalkenyl, C₅ to C₇ substituted
cycloalkenyl, a heterocyclic ring, substituted
heterocyclic ring, heteroaryl, substituted
heteroaryl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈
substituted phenylalkyl, C₁ to C₁₂
heterocycloalkyl, C₁ to C₁₂ substituted
heterocycloalkyl, C₇ to C₁₈ phenylalkoxy, C₇ to C₁₈
substituted phenylalkoxy, phenyl, substituted
phenyl, naphthyl, substituted naphthyl, cyclic C₂
to C₇ alkylene, substituted cyclic C₂ to C₇
alkylene, cyclic C₂ to C₇ heteroalkylene,
substituted cyclic C₂ to C₇ heteroalkylene,
carboxy, protected carboxy, hydroxymethyl and
protected hydroxymethyl; and m and n are,
independently, 0, 1, 2, 3 or 4; and

R⁷ and R⁸ are, independently, selected from the group
consisting of a functionalized resin, a hydrogen atom, C₁ to
C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted
phenyl, heterocycle, substituted heterocycle, C₃ to C₇
cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇
cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂
alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈
phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂
heterocycloalkyl and C₁ to C₁₂ substituted heterocycloalkyl,
C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl,
substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to

C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to C₁₂ alkylaminothiocarbonyl, C₁ to C₁₂ substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)NR¹¹R¹²; or

provided that, where R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)R¹¹, wherein R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof.

31. (Amended) A method of preparing the single compound of claim 36, comprising:

(a) coupling a first compound having a substituent of the formula -NH-C(O)-variable group-NH₂ with a benzene compound that is substituted with a nitro group and a halo group in an ortho relationship on the benzene ring, the benzene compound optionally substituted with a variable group at one or more of the remaining 4 positions of the benzene ring, resulting in a benzene compound substituted with a nitro group and a monosubstituted amino group in an ortho relationship on the benzene ring;

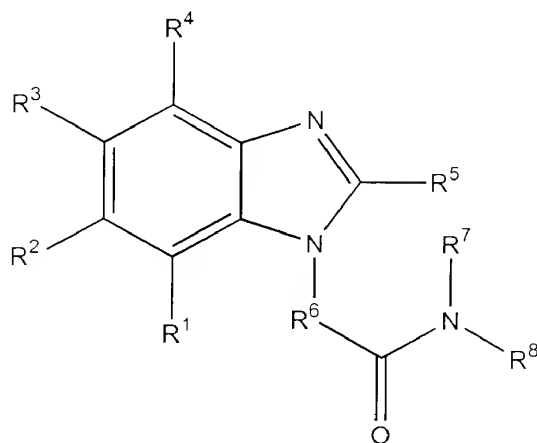
(b) reducing the nitro group of the benzene compound resulting from step (a); and

(c) coupling the compound resulting from step (b) with an aldehyde compound, resulting in a benzimidazole derivative compound.

35. (Amended) The single compound of claim 39, wherein R⁴ is selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene.

Please add the following claims:

42. (New) A single compound of the formula:



wherein:

R¹, R² and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl,

cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula -NR¹¹R¹², (iv) the formula -SR¹¹, (v) the formula -OR¹¹ and (vi) the formula -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R^3 is selected from the group consisting of hydroxy, protected hydroxy, cyano, C_2 to C_{12} alkenyl, C_2 to C_{12} alkynyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} substituted alkenyl, C_2 to C_{12} substituted alkynyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyloxy, C_1 to C_{12} acyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_2 to C_7 alkylene, substituted cyclic C_2 to C_7 alkylene, cyclic C_2 to C_7 heteroalkylene, substituted cyclic C_2 to C_7 heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C_1 to C_{10} alkylamino, C_1 to C_{10} substituted alkylamino, carboxamide, protected carboxamide, C_1 to C_{10} alkylthio, C_1 to C_{10} substituted alkylthio, C_1 to C_{10} alkylsulfonyl, C_1 to C_{10} substituted alkylsulfonyl, C_1 to C_{10} alkylsulfoxide, C_1 to C_{10} substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$, (ii) the formula $-C(O)R^{11}$, (iii) the formula $-NR^{11}R^{12}$, (iv) the formula $-SR^{11}$, (v) the formula $-OR^{11}$ and (vi) the formula $-C(O)OR^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, phenyl,

substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxycarbonyl, C₁ to C₁₂ substituted alkoxycarbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

R⁶ is the formula:

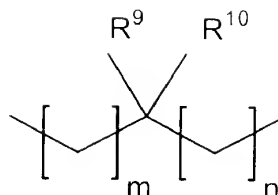
-D-W-E-

wherein:

zero, one or two of D, W and E can be absent;

W, if present, is selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, if present and E, if present, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R^9 and R^{10} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} alkynyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} substituted alkenyl, C_2 to C_{12} substituted alkynyl, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, C_3 to C_7 cycloalkyl, C_3 to C_7 substituted cycloalkyl, C_5 to C_7 cycloalkenyl, C_5 to C_7 substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, C_7 to C_{18} phenylalkoxy, C_7 to C_{18} substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C_2 to C_7 alkylene, substituted cyclic C_2 to C_7 alkylene, cyclic C_2 to C_7 heteroalkylene, substituted cyclic C_2 to C_7 heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R⁷ and R⁸ are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl and C₁ to C₁₂ substituted heterocycloalkyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to C₁₂ alkylaminothiocarbonyl, C₁ to C₁₂ substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl; or

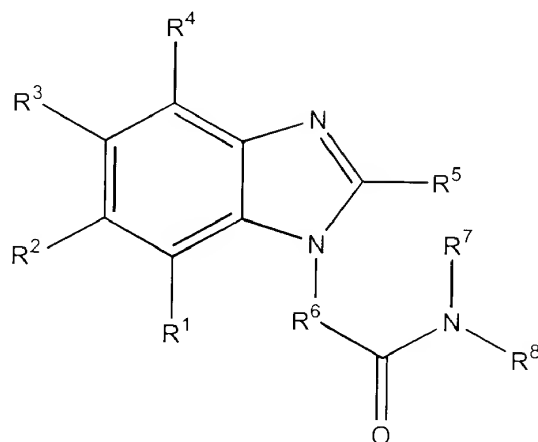
a pharmaceutically acceptable salt of a compound thereof.

43. (New) The single compound of claim 42, wherein:

where R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)NR¹¹R¹²; or

where R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)R¹¹, wherein R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

44. (New) A single compound of the formula:



wherein:

R¹, R², R³ and R⁴ are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, protected amino,

(monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula -NR¹¹R¹², (iv) the formula -SR¹¹, (v) the formula -OR¹¹ and (vi) the formula -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁵ is selected from the group consisting of phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, protected (monosubstituted)amino,

(disubstituted)amino, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxy carbonyl, C₁ to C₁₂ substituted alkoxy carbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

R⁶ is the formula:

-D-W-E-

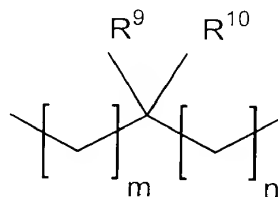
wherein:

zero, one or two of D, W, and E can be absent;

W, if present, is selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, if present, and E, if present, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted

alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted

heterocycloalkyl, C₇ to C₁₈ phenylalkoxy, C₇ to C₁₈ substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R⁷ and R⁸ are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl and C₁ to C₁₂ substituted heterocycloalkyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to C₁₂ alkylaminothiocarbonyl, C₁ to C₁₂ substituted alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl; or

a pharmaceutically acceptable salt of a compound thereof.

45. (New) The single compound of claim 44, wherein:

if R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)NR¹¹R¹²; or

if R⁶ is methylene, at least one of R¹ to R⁴ must be the formula -C(O)R¹¹, wherein R¹¹ is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon.

46. (New) The single compound of claim 44, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula -C(O)NR¹¹R¹², wherein R¹¹ is selected from the group consisting of a hydrogen atom, methyl, ethyl and benzyl and R¹² is selected from the group consisting of a hydrogen atom, 2-(2-methoxyphenyl)ethyl, (1-ethyl-2-pyrrolidino)methyl, pyridin-2-ylmethyl, 2-methyl-5-chlorophenyl, (2-(pyridin-2-yl)ethyl), 1-ethyl-2-pyrrolidinylmethyl, 3,3,5-trimethylcyclohexyl, 3,4-methylenedioxyphenyl, 3-(trifluoromethyl)benzyl, pyridin-4-ylmethyl, 6-indazolyl, 2-(ethoxycarbonyl)ethyl, cyclooctyl, cyclopropyl, benzyl, N,N-(diethylamino)ethyl, 3-(2-oxo-1-pyrrolidine)propyl, 3-(4-morpholino)propyl, (ethoxycarbonyl)methyl and cyclohexyl;

R⁵ is selected from the group consisting of phenoxyphenyl, 4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl,

3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl,
4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl,
4-pyridyl, isopropyl, 2-methylthioethyl,
4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl,
2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl,
2,5-difluorophenyl, 2-quinolyl,
2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl,
4-chloro-3-fluorophenyl,
2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl,
5-nitro-2-furyl, 4-bromophenyl, cyclopropyl,
2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl,
5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl,
3,4-difluorophenyl, 4-dimethylaminophenyl,
4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl,
2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl,
4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl,
1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl,
2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R⁶ is selected from the group consisting of methylene,
ethylidene, ethylene, propylene, pentylene, isopentylidene,
3-aminocarbonylbutylidene, 2-methylthiopropylidene,
isobutylidene, phenylmethylene, benzylmethylene,
cyclohexylethylidene, 4-chlorobenzylmethylene,
indol-3-ylethylidene, 4-trifluoroacetamidopentylidene,
3-guanidobutylidene, hydroxyethylidene,
2-aminocarbonylpropylidene, isopentylidene,
mercaptoethylidene, 4-hydroxybenzylmethylene,
1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-,

3,6-dioxaoctylene-NH-, -CH₂CH₂NH- and
1,4-(cyclohexylene)-NH-;

and

R⁷ and R⁸ are each a hydrogen atom.

47. (New) The single compound of claim 44, wherein:

R¹, R² and R⁴ are each a hydrogen atom and R³ is the formula
-C(O)R¹¹, wherein R¹¹ is selected from the group consisting
of 1,3,3-trimethyl-6-aza-6-bicyclo(3,2,1)octyl,
4-(4-fluorophenyl)-1-piperazino, 4-acetyl-1-piperazino,
piperazino, 2-methyl-4-(3-methylphenyl)-1-piperazino,
4-(ethoxycarbonyl)piperidino, N-methylhomopiperazino and
N,N'-diisopropylimidamino;

R⁵ is selected from the group consisting of phenoxyphenyl,
4-hydroxy-3-methoxyphenyl, 3,4,5-trimethoxyphenyl,
3-hydroxy-4-methoxyphenyl, 4-acetamidophenyl,
4-phenoxyphenyl, 4-methoxyl-1-naphthyl, 4-bromo-2-thienyl,
4-pyridyl, isopropyl, 2-methylthioethyl,
4-chloro-3-nitrophenyl, 3-nitrophenyl, 4-t-butylphenyl,
2,3-dichlorophenyl, 3,5-bis(trifluoromethyl)phenyl,
2,5-difluorophenyl, 2-quinolyl,
2-chloro-3,4-dimethoxyphenyl, 5-methyl-2-furyl,
4-chloro-3-fluorophenyl,
2-phenyl-4-imidazolyl, 2-(ethoxycarbonyl)cyclopropyl,
5-nitro-2-furyl, 4-bromophenyl, cyclopropyl,
2-norbornen-5-yl, 6-nitropiperonyl, 2-chloro-5-nitrophenyl,

5-hydroxy-2-nitrophenyl, 3-hydroxyphenyl,
3,4-difluorophenyl, 4-dimethylaminophenyl,
4-methylthiophenyl, 4-(trifluoromethyl)phenyl, 2-thienyl,
2,3-dimethoxyphenyl, 3-ethoxy-4-hydroxyphenyl,
4-cyanophenyl, 3-cyanophenyl, 2-furyl, 4-nitrophenyl,
1-naphthyl, 2-methoxyphenyl, 4-isopropylphenyl, piperonyl,
2-fluorophenyl, 4-ethoxyphenyl and 2,4-dihydroxyphenyl;

R⁶ is selected from the group consisting of methylene,
ethylidene, ethylene, propylene, pentylene, isopentylidene,
3-aminocarbonylbutylidene, 2-methylthiopropylidene,
isobutylidene, phenylmethylene, benzylmethylene,
cyclohexylethylidene, 4-chlorobenzylmethylene,
indol-3-ylethylidene, 4-trifluoroacetamidopentylidene,
3-guanidobutylidene, hydroxyethylidene,
2-aminocarbonylpropylidene, isopentylidene,
mercaptoethylidene, 4-hydroxybenzylmethylene,
1,3-phenylene, 1,4-phenylene, 1,4-(phenylene)-NH-,
3,6-dioxaoctylene-NH-, -CH₂CH₂NH- and
1,4-(cyclohexylene)-NH-;

and

R⁷ and R⁸ are each a hydrogen atom.

48. (New) A method of preparing the single compound of
claim 39, comprising:

(a) coupling a first compound having a substituent of the
formula -NH-C(O)-variable group-NH₂ with a benzene compound

that is substituted with a nitro group and a halo group in an ortho relationship on the benzene ring, the benzene compound optionally substituted with a variable group at one or more of the remaining 4 positions of the benzene ring, resulting in a benzene compound substituted with a nitro group and a monosubstituted amino group in an ortho relationship on the benzene ring;

(b) reducing the nitro group of the benzene compound resulting from step (a); and

(c) coupling the compound resulting from step (b) with an aldehyde compound, resulting in a benzimidazole derivative compound.